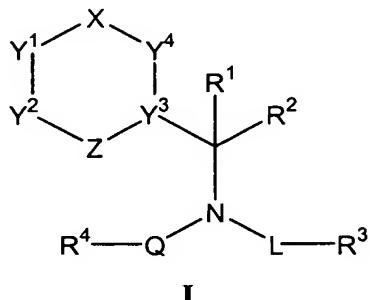


WHAT IS CLAIMED IS:

- 1        1. A compound having the formula (I):



2  
3  
4        wherein

5                X is a member selected from the group consisting of a bond, -C(O)-,  
6        -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;  
7                Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
8        -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;  
9                L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
10      C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;  
11                Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
12      C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-  
13      and -CH<sub>2</sub>SO<sub>2</sub>-;  
14                optionally L and Q can be linked together to form a 5- or 6-membered  
15      heterocyclic group having from 1 to 3 heteroatoms;  
16                R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
17      of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
18      form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
19                optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
20      heterocyclic group having from 1 to 4 heteroatoms;  
21                R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
22      C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
23      C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
24      -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
25                R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
26      C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
27      aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
28                R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group

29 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
30 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
31 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
32 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
33 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
34 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
35 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
36 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
37 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
38 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
39 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
40 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
41 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
42 each R<sup>12</sup> is a member independently selected from the group consisting of  
43 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
44 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
45 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
46 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
47 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
48 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
49 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
50 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
51 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
52 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
53 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
54 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
55 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
56 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
57 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
58 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
59 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
60 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
61 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
62 with the proviso that when the Y<sup>3</sup>-containing ring system is a

63 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
64 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
65 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
66 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
67 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
68 or 7-membered ring.

1           2. A compound of Claim 1, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)- wherein R<sup>14</sup> is  
2 selected from the group consisting of aryl and heteroaryl.

1           3. A compound of Claim 1, wherein X is -C(O)-

1           4. A compound of Claim 1, wherein Z is -N=.

1           5. A compound of Claim 1, wherein Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=  
2 wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered aryl or heteroaryl  
3 ring.

a

1           6. A compound of Claim 1, wherein X is -C(O)-; Z is -N=; Y<sup>3</sup> is C; and  
2 Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1           7. A compound of Claim 6, wherein the two R<sup>12</sup> groups are combined to  
2 form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1           8. A compound of Claim 6, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-.

1           9. A compound of Claim 6, wherein Y<sup>4</sup> is -C(R<sup>14</sup>)=.

1           10. A compound of Claim 7, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-.

1           11. A compound of Claim 7, wherein Y<sup>4</sup> is -C(R<sup>14</sup>)=.

1           12. A compound of Claim 1, wherein L is (C<sub>1</sub>-C<sub>8</sub>)alkylene.

1           13. A compound of Claim 1, wherein Q is -C(O)-.

1           14. A compound of Claim 1, wherein R<sup>4</sup> is selected from the group  
2 consisting of (C<sub>5</sub>-C<sub>15</sub>)alkyl, substituted or unsubstituted phenyl and biphenyl.

1           **15.** A compound of Claim 1, wherein R<sup>3</sup> is selected from the group  
2 consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3 C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup>  
4 and -CO<sub>2</sub>R<sup>11</sup>.

1           **16.** A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected  
2 from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **17.** A compound of Claim 1, wherein Y<sup>3</sup> is C and the carbon atom shares a  
2 double bond with Z.

1           **18.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)(R<sup>6</sup>)-, Y<sup>4</sup> is -N(R<sup>14</sup>)-,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3 and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1           **19.** A compound of Claim 18, wherein X is -CH<sub>2</sub>- and the R<sup>12</sup> groups are  
2 combined to form a substituted or unsubstituted aryl or heteroaryl ring.

1           **20.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3 and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1           **21.** A compound of Claim 20, wherein R<sup>1</sup> is H.

1           **22.** A compound of Claim 1, wherein X is a bond; Y<sup>4</sup> is -N(R<sup>14</sup>)-, wherein  
2 R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup> and Y<sup>2</sup> are  
3 each -C(R<sup>12</sup>)=.

1           **23.** A compound of Claim 22, wherein the R<sup>12</sup> groups are combined to  
2 form a substituted or unsubstituted aryl or heteroaryl ring.

1           **24.** A compound of Claim 22, wherein R<sup>1</sup> is H.

1           **25.** A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -C(R<sup>7</sup>)=; and  
3 Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1           **26.** A compound of Claim 25, wherein R<sup>5</sup> and R<sup>12</sup> are combined to form a  
2       5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1           **27.** A compound of Claim 25, wherein R<sup>1</sup> is H.

1           **28.** A compound of Claim 1, wherein X is a bond; Z is -N= or -N(R<sup>17</sup>)-;  
2       Y<sup>4</sup> is -C(R<sup>14</sup>)=, wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>1</sup> is  
3       selected from the group consisting of -O-, -S- and -N(R<sup>13</sup>)-; and Y<sup>2</sup> is -C(R<sup>12</sup>)=.

1           **29.** A compound of Claim 28, wherein Y<sup>1</sup> is -O- and Z is -N=.

1           **30.** A compound of Claim 28, wherein Y<sup>1</sup> is -S- and Z is -N=.

1           **31.** A compound of Claim 28, wherein Y<sup>1</sup> is -N(R<sup>13</sup>)- and Z is -N=.

1           **32.** A compound of Claim 1, wherein X is -SO<sub>2</sub>- ; Y<sup>4</sup> is -N(R<sup>14</sup>)=, wherein  
2       R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N= or -C(R<sup>7</sup>)=; and Y<sup>1</sup>  
3       and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1           **33.** A compound of Claim 32, wherein R<sup>1</sup> is H.

1           **34.** A compound of Claim 1, wherein X is a bond; Z is -O-, -S- or  
2       -N(R<sup>17</sup>)-; Y<sup>1</sup> is -N= or -N(R<sup>13</sup>)-; Y<sup>2</sup> is -C(R<sup>12</sup>)=; and Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is  
3       substituted or unsubstituted aryl or heteroaryl.

1           **35.** A compound of Claim 34, wherein Y<sup>1</sup> is -N= and Z is -O-.

1           **36.** A compound of Claim 34, wherein Y<sup>1</sup> is -N= and Z is -S-.

1           **37.** A compound of Claim 34, wherein Z is -N(R<sup>17</sup>)-.

1           **38.** A compound of Claim 34, wherein R<sup>1</sup> is H.

1           **39.** A compound of Claim 1, wherein X is a bond; Y<sup>1</sup> is -N(R<sup>13</sup>)- or =N-;  
2       Y<sup>2</sup> is -C(R<sup>12</sup>)=; Y<sup>3</sup> is C; Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is substituted or unsubstituted aryl or  
3       heteroaryl; and Z is -N(R<sup>17</sup>)- or =N-, with the proviso that Y<sup>1</sup> and Z are not both =N-.

1           **40.** A compound of Claim 1, wherein X is a bond; Y<sup>1</sup> and Y<sup>2</sup> are each  
2       independently -C(R<sup>12</sup>)=; Y<sup>3</sup> is C; Y<sup>4</sup> is -C(R<sup>14</sup>)= wherein R<sup>14</sup> is substituted or

3 unsubstituted aryl or heteroaryl; and Z is  $-N(R^{17})$ -, O or S.

1           **41.** A compound of Claim 40, wherein the two  $R^{12}$  groups are combined to  
2 form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1           **42.** A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^1$  is  $-N(R^{13})$ -,  $Y^2$  is  
2  $-N=$ ;  $Y^3$  is C;  $Y^4$  is  $-N(R^{14})$ - wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  
3 and Z is a bond.

1           **43.** A compound of Claim 42, wherein  $R^1$  is H.

1           **44.** A compound of Claim 1, wherein X is  $C(O)$ -, Z is  $-N(R^{17})$ - wherein  
2  $R^{17}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  $Y^2$  are each independently  
3  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-N=$ .

1           **45.** A compound of Claim 44, wherein  $R^1$  is H.

1           **46.** A compound of Claim 1, wherein X and Z are  $-N=$ ,  $Y^1$  and  $Y^2$  are each  
2 independently  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is a substituted or  
3 unsubstituted aryl or heteroaryl group.

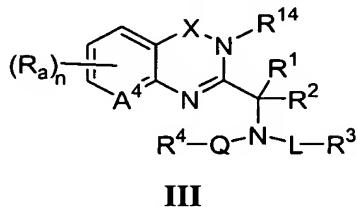
1           **47.** A compound of Claim 46, wherein  $R^1$  is H.

1           **48.** A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^4$  is  
2  $-N(R^{14})-C(R^5)(R^6)-$ ; wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  
3  $Y^2$  are each independently  $-C(R^{12})=$ ;  $Y^3$  is C; and Z is  $-N=$ .

1           **49.** A compound of Claim 48, wherein  $R^1$  is H.

1           **50.** A compound of Claim 1, wherein the  $Y^3$ -containing ring system is  
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3 quiazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4 pyridine, pyrazine and benzodiazepine.

1           **51.** A compound of Claim 1, having the formula (III):



wherein

A<sup>4</sup> is C or N;

X is -CO-, -CH<sub>2</sub>- or a bond;

R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

R<sup>14</sup> is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thiaryl and pyrimidinyl;

Q is -CO-;

L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

the subscript n is an integer of from 0 to 4; and

each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR'', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', -NR'-C(O)NR''R'', -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxygen-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **52.** A compound of Claim 51, wherein X is -C(O)-.

1           **53.** A compound of Claim 51, wherein X is -CH<sub>2</sub>-.

1           **54.** A compound of Claim 51, wherein X is a bond.

1           **55.** A compound of Claim 51, wherein R<sup>4</sup> is substituted or unsubstituted  
2       benzyl, wherein said substituents are selected from the group consisting of halogen,  
3       halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl

1           **56.** A compound of Claim 51, wherein R<sup>14</sup> is selected from the group  
2 consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted  
3 thiaryl, wherein the substituents are selected from the group consisting of cyano, halogen,  
4 (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and  
5 ethylenedioxy.

1           **57.** A compound of Claim 51, wherein R<sup>14</sup> is substituted phenyl, wherein  
2 the substituents are selected from the group consisting of cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy,  
3 (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and ethylenedioxy.

1           **58.** A compound of Claim 51, wherein R<sup>4</sup> is substituted or unsubstituted  
2 benzyl, wherein said substituents are selected from the group consisting of halogen,  
3 halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro and phenyl, and R<sup>14</sup> is substituted  
4 phenyl, wherein the substituents are selected from the group consisting of cyano, halogen,  
5 (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and  
6 ethylenedioxy.

1           **59.** A compound of Claim 51, wherein R<sup>1</sup> is selected from the group  
2 consisting of methyl, ethyl and propyl, and R<sup>2</sup> is hydrogen.

1           **60.** A compound of Claim 51, wherein R<sup>1</sup> and R<sup>2</sup> are each methyl.

1           **61.** A compound of Claim 51, wherein R<sup>3</sup> is selected from the group  
2 consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3 C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocycl and heteroaryl.

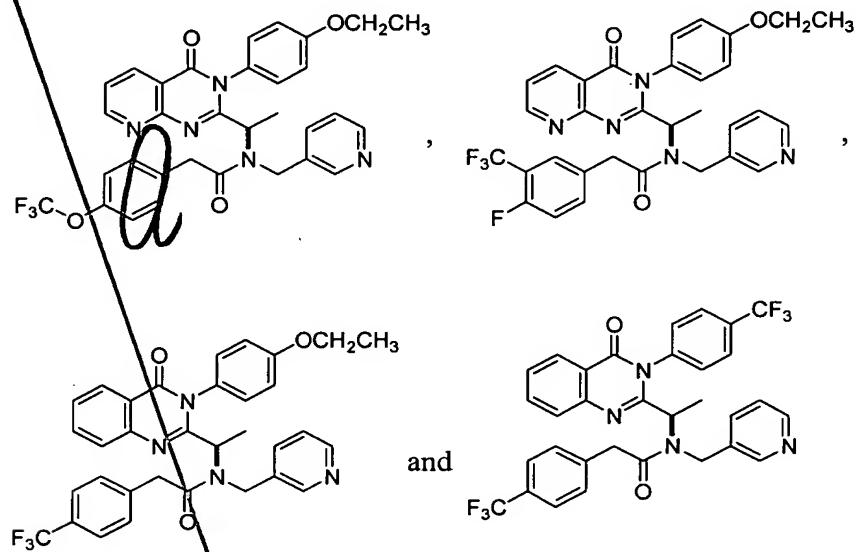
1           **62.** A compound of Claim 51, wherein R<sup>3</sup> is selected from the group  
2 consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted  
3 imidazolyl.

1           **63.** A compound of Claim 51, wherein L is (C<sub>1</sub>-C<sub>4</sub>)alkylene.

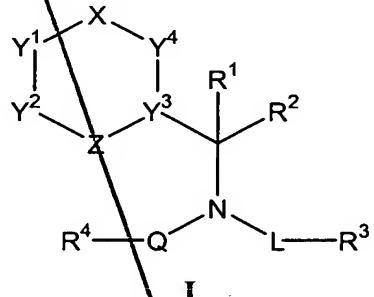
1           **64.** A compound of Claim 51, wherein X is -CO-; R<sup>1</sup> and R<sup>2</sup> are each  
2 independently selected from the group consisting of H, methyl and ethyl; R<sup>14</sup> is phenyl; ;  
3 L is methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of  
4 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is  
5 substituted or unsubstituted benzyl, wherein said substituents are selected from the group

6 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
7 each R<sub>a</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
8 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR"C(O)R', -NR'-C(O)NR''R'',  
9 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
10 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
11 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
12 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                    65. A compound of Claim 51, wherein said compound is selected from the  
2 group consisting of:



1                   **66. A pharmaceutical composition comprising a pharmaceutically  
2 acceptable carrier or excipient and a compound having the formula (I):**



## 5 wherein

6 X is a member selected from the group consisting of a bond, -C(O)-,  
7 -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;

8            X is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
9    -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;  
10          L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
11        C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;  
12          Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
13        C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-  
14        and -CH<sub>2</sub>SO<sub>2</sub>;  
15          optionally L and Q can be linked together to form a 5- or 6-membered  
16        heterocyclic group having from 1 to 3 heteroatoms;  
17          R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
18        of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
19        form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
20          optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
21        heterocyclic group having from 1 to 4 heteroatoms;  
22          R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
23        C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
24        C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
25        -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
26          R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
27        C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
28        aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
29          R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
30        consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
31        and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
32          R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
33        consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
34          each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
35        of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
36        heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
37          Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
38        consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
39          Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
40        carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
41          Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=.

42 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
43 each R<sup>12</sup> is a member independently selected from the group consisting of  
44 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
45 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
46 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
47 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
48 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
49 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
50 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
51 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
52 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
53 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
54 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
55 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
56 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
57 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
58 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
59 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
60 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
61 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
62 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
63 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
64 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
65 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
66 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
67 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
68 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
69 or 7-membered ring.

1       **67. A composition of Claim 66, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)- wherein R<sup>14</sup> is**

2 selected from the group consisting of aryl and heteroaryl.

1       **68. A composition of Claim 66, wherein X is -C(O)-.**

1       **69. A composition of Claim 66, wherein Z is -N=**

1           **70.** A composition of Claim 66, wherein Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=  
2   wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered aryl or heteroaryl  
3   ring.

1           **71.** A composition of Claim 66, wherein X is -C(O)-; Z is -N=; Y<sup>3</sup> is C;  
2   and Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)= wherein the two R<sup>12</sup> groups are combined to form a  
3   fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1           **72.** A composition of Claim 66, wherein L is (C<sub>1</sub>-C<sub>8</sub>)alkylene.

1           **73.** A composition of Claim 66, wherein Q is -C(O)-.

1           **74.** A composition of Claim 66, wherein R<sup>4</sup> is selected from the group  
2   consisting of (C<sub>5</sub>-C<sub>15</sub>)alkyl, substituted or unsubstituted phenyl and biphenyl.

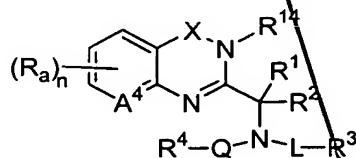
1           **75.** A composition of Claim 66, wherein R<sup>3</sup> is selected from the group  
2   consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3   C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup>  
4   and -CO<sub>2</sub>R<sup>11</sup>.

1           **76.** A composition of Claim 66, wherein R<sup>1</sup> and R<sup>2</sup> are independently  
2   selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **77.** A composition of Claim 66, wherein Y<sup>3</sup> is C and the carbon atom  
2   shares a double bond with Z.

1           **78.** A composition of Claim 66, wherein the Y<sup>3</sup>-containing ring system is  
2   selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3   quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4   pyridine, pyrazine and benzodiazepine.

1           **79.** A composition of Claim 66, wherein the compound has the formula  
2   (III):



### III

4       wherein

5           A<sup>4</sup> is C or N;

6           X is -CO-, -CH<sub>2</sub>- or a bond;

7           R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group

8           consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

9           R<sup>14</sup> is a substituted or unsubstituted member selected from the group

10          consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

11          Q is -CO-;

12          L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

13          the subscript n is an integer of from 0 to 4; and

14          each R<sub>a</sub> is independently selected from the group consisting of halogen, -

15          OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R',

16          -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', -NR'-C(O)NR''R''', -NH-C(NH<sub>2</sub>)=NH, -

17          NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>,

18          perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each

19          independently selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,

20          unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and

21          (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **80. A composition in accordance with Claim 79, wherein X is -C(O)-.**

1           **81. A composition in accordance with Claim 79, wherein X is -CH<sub>2</sub>-.**

1           **82. A composition in accordance with Claim 79, wherein X is a bond.**

1           **83. A composition in accordance with Claim 79, wherein R<sup>4</sup> is substituted**

2          or unsubstituted benzyl, wherein said substituents are selected from the group consisting

3          of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.

1           **84. A composition in accordance with Claim 79, wherein R<sup>14</sup> is selected**

2          from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl

3          and substituted thienyl, wherein the substituents are selected from the group consisting of

4          cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>,

5          methylenedioxy and ethylenedioxy.

1           **85.** A composition in accordance with Claim 79, wherein R<sup>1</sup> is selected  
2 from the group consisting of methyl, ethyl and propyl, and R<sup>2</sup> is.

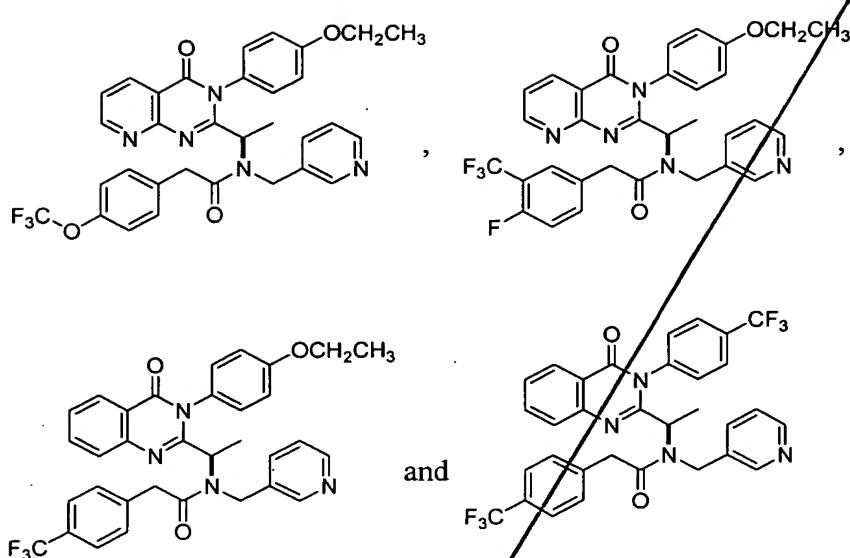
1           **86.** A composition in accordance with Claim 79, wherein R<sup>1</sup> and R<sup>2</sup> are  
2 each methyl.

1           **87.** A composition in accordance with Claim 79, wherein R<sup>3</sup> is selected  
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or  
3 unsubstituted imidazolyl.

1           **88.** A composition in accordance with Claim 79, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

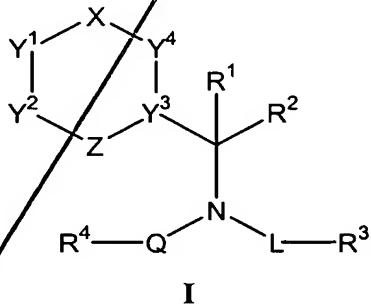
1           **89.** A composition in accordance with Claim 79, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; L is  
4 methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of substituted  
5 or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is substituted or  
6 unsubstituted benzyl, wherein said substituents are selected from the group consisting of  
7 halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and each R<sub>a</sub> is  
8 selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN,  
9 -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR'C(O)R', -NR'-C(O)NR''R''', perfluoro(C<sub>1</sub>-  
10 C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
11 selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted  
12 aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted  
13 aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **90.** The composition of Claim 79, wherein said compound is:



2

1                   91. A method of treating an inflammatory or immune condition or disease  
2       in a subject, said method comprising administering to a subject in need of such treatment  
3       a therapeutically effective amount of a compound having the formula (I):



## 6 wherein

X is a member selected from the group consisting of a bond, -C(O)-, -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)₂- and -N=;

Z is a member selected from the group consisting of a bond, -N=, -O-, -S-, -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SC(=O)R<sup>9</sup>, and -CH<sub>2</sub>SO<sub>2</sub>:

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

18                   R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
21                   optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
22 heterocyclic group having from 1 to 4 heteroatoms;  
23                   R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
27                   R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
30                   R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
32 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
33                   R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
35                   each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
38                   Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
40                   Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
41 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
42                   Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
44                   each R<sup>12</sup> is a member independently selected from the group consisting of  
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
46 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
51                   R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl

52 ~~(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,~~  
53 ~~aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;~~

54 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
55 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;

57 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

59 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
66 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
67 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
68 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
69 or 7-membered ring.  
70

1                   **92.** The method of Claim 91, wherein said compound is administered  
2 orally, parenterally or topically.

1                   **93.** The method of Claim 91, wherein said compound modulates CXCR3.

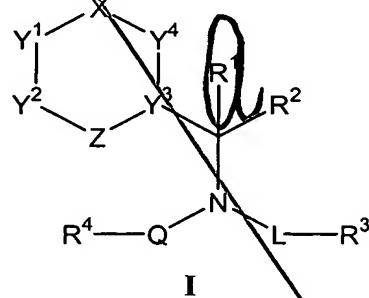
1                   **94.** The method of Claim 91, wherein said compound is a CXCR3  
2 antagonist.

1                   **95.** The method of Claim 91, wherein said inflammatory or immune  
2 condition or disease is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections.

8 organ transplant conditions and skin transplant conditions.

1           96. The method of Claim 91, wherein said compound is administered in  
2 combination with a second therapeutic agent, wherein said second therapeutic agent is  
3 useful for treating or preventing neurodegenerative diseases, multiple sclerosis, systemic  
4 lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis,  
5 hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes,  
6 asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease,  
7 sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease,  
8 Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant  
9 conditions or skin transplant conditions.

1           97. A method of treating a CXCR3-mediated condition or disease in a  
2 subject, said method comprising administering to a subject in need of such treatment a  
3 therapeutically effective amount of a compound having the formula (I):



6           wherein

7           X is a member selected from the group consisting of a bond, -C(O)-,  
8 -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;

9           Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
10 -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;

11           L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
12 C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;

13           Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
14 C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-

15 and -CH<sub>2</sub>SO<sub>2</sub>-;

16           optionally L and Q can be linked together to form a 5- or 6-membered  
17 heterocyclic group having from 1 to 3 heteroatoms;

18           R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting

19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
21 optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
22 heterocyclic group having from 1 to 4 heteroatoms;  
23 R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
27 R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
30 R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
32 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
33 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
35 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
38 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
40 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
41 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
42 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
44 each R<sup>12</sup> is a member independently selected from the group consisting of  
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
46 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
51 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
52 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl

53      aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
54            R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
55      C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56      heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
57            R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58      consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
59            R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60      (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61      aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62      N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63      6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
64            with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65      quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
66      C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
67      substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
68      R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
69      optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
70      or 7-membered ring.

1            98. A method in accordance with Claim 97, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-  
2      wherein R<sup>14</sup> is selected from the group consisting of aryl and heteroaryl.

1            99. A method in accordance with Claim 97, wherein X is -C(O)-.

1            100. A method in accordance with Claim 97, wherein Z is -N=.

1            101. A method in accordance with Claim 97, wherein Y<sup>1</sup> and Y<sup>2</sup> are  
2      each -C(R<sup>12</sup>)=, wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered  
3      aryl or heteroaryl ring.

1            102. A method in accordance with Claim 97, wherein X is -C(O)-; Z is  
2      -N=; Y<sup>3</sup> is C; and Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)= wherein the two R<sup>12</sup> groups are combined  
3      to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1            103. A method in accordance with Claim 97, wherein L is (C<sub>1</sub>-  
2      C<sub>8</sub>)alkylene.

1           **104.** A method in accordance with Claim 97, wherein Q is  $-C(O)-$ .

1           **105.** A method in accordance with Claim 97, wherein  $R^4$  is selected  
2 from the group consisting of  $(C_5-C_{15})$ alkyl, substituted or unsubstituted phenyl and  
3 biphenyl.

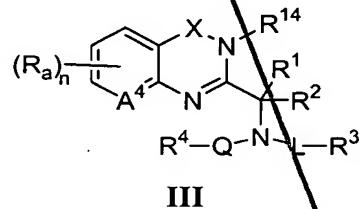
1           **106.** A method in accordance with Claim 97, wherein  $R^3$  is selected  
2 from the group consisting of  $(C_1-C_8)$ alkoxy,  $(C_1-C_8)$ alkylamino, di $(C_1-C_8)$ alkylamino,  
3  $(C_2-C_8)$ heteroalkyl,  $(C_3-C_9)$ heterocyclyl,  $(C_1-C_8)$ acylamino, cyano, heteroaryl,  
4  $-CONR^9R^{10}$  and  $-CO_2R^{11}$ .

1           **107.** A method in accordance with Claim 97, wherein  $R^1$  and  $R^2$  are  
2 independently selected from the group consisting of H and  $(C_1-C_4)$ alkyl.

1           **108.** A method in accordance with Claim 97, wherein  $Y^3$  is C and the  
2 carbon atom shares a double bond with Z.

1           **109.** A method in accordance with Claim 97, wherein the  $Y^3$ -containing  
2 ring system is selected from the group consisting of quinoline, quinazoline, naphthalene,  
3 quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole,  
4 imidazole, pyridine, pyrazine and benzodiazepine.

1           **110.** A method in accordance with Claim 97, wherein said compound  
2 has the formula (III):



5           wherein

6           **A<sup>4</sup>** is C or N;

7           **X** is  $-CO-$ ,  $-CH_2-$  or a bond;

8           **R<sup>1</sup>** and **R<sup>2</sup>** are each members independently selected from the group consisting of  
9           H and  $(C_1-C_4)$ alkyl;

10          **R<sup>14</sup>** is a substituted or unsubstituted member selected from the group consisting of  
11           phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

12        Q is -CO-;  
13        L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;  
14        the subscript n is an integer of from 0 to 4; and  
15        each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR',  
16              -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R',  
17              -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', , -NR'-C(O)NR''R'',  
18              -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -  
19              S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and  
20              perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
21              selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
22              unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-  
23              C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1        111. A method in accordance with Claim 110, wherein X is -C(O)-.

1        112. A method in accordance with Claim 110, wherein X is -CH<sub>2</sub>-.

1        113. A method in accordance with Claim 110, wherein X is a bond.

1        114. A method in accordance with Claim 110, wherein R<sup>4</sup> is substituted  
2        or unsubstituted benzyl, wherein said substituents are selected from the group consisting  
3        of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.

1        115. A method in accordance with Claim 110, wherein R<sup>14</sup> is selected  
2        from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl  
3        and substituted thienyl, wherein the substituents are selected from the group consisting of  
4        cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>,  
5        methylenedioxy and ethylenedioxy.

1        116. A method in accordance with Claim 110, wherein R<sup>1</sup> is selected  
2        from the group consisting of methyl, ethyl and propyl, and R<sup>2</sup> is hydrogen.

1        117. A method in accordance with Claim 110, wherein R<sup>1</sup> and R<sup>2</sup> are  
2        each methyl.

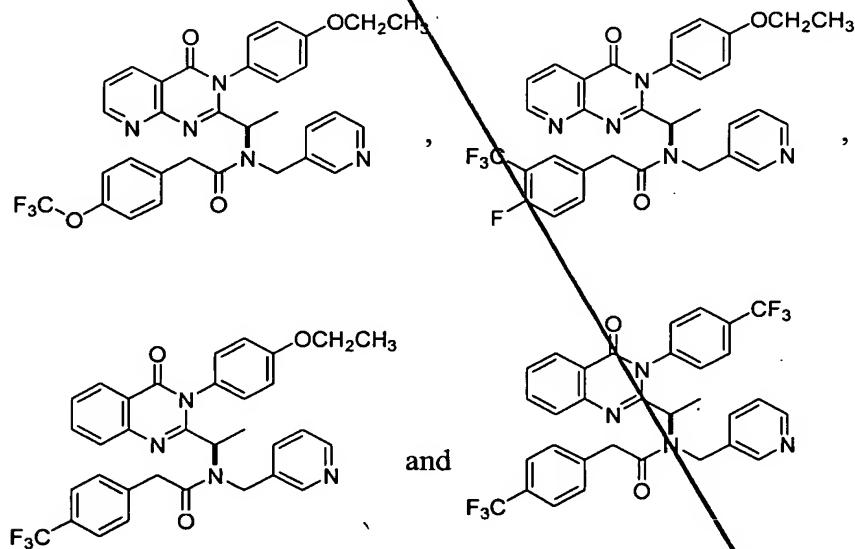
1        118. A method in accordance with Claim 110, wherein R<sup>3</sup> is selected  
2        from the group consisting of substituted or unsubstituted pyridyl and substituted or

3 unsubstituted imidazolyl.

1           **119.** A method in accordance with Claim 110, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

1           **120.** A method in accordance with Claim 110, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of H, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; Q is -  
4 CO-; L is methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of  
5 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is  
6 substituted or unsubstituted benzyl, wherein said substituents are selected from the group  
7 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
8 each R<sub>a</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
9 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR"C(O)R', -NR'-C(O)NR''R'',  
10 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
11 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
12 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
13 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1           **121.** The method of Claim 110, wherein said compound is selected from  
2 the group consisting of:



1           **122.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,  
8 organ transplant conditions and skin transplant conditions.

1           **123.** The method of Claim 97, wherein said compound modulates  
2 CXCR3.

1           **124.** A method in accordance with Claim 110, wherein said compound  
2 is administered in combination with a second therapeutic agent, wherein said second  
3 therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis,  
4 systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis,  
5 meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I  
6 diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary  
7 disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's  
8 disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ  
9 transplant conditions or skin transplant conditions.

1           **125.** A method in accordance with Claim 124, wherein said organ  
2 transplant condition is a bone marrow transplant condition or a solid organ transplant  
3 condition.

1           **126.** A method in accordance with Claim 125, wherein said solid organ  
2 transplant condition is a kidney transplant condition, a liver transplant condition, a lung  
3 transplant condition, a heart transplant condition or a pancreas transplant condition.

1           **127.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is restenosis.

1           **128.** A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid

3 arthritis and organ transplant conditions.

1           **129.** A method in accordance with Claim 110, wherein said compound  
2 is used in conjunction with another therapeutic agent selected from the group consisting  
3 of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant,  
4 methotrexate, prednisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate,  
5 hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound,  
6 an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

1           **130.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is an organ transplant condition and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene,  
5 cyclophosphamide and an antilymphocyte globulin.

1           **131.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is rheumatoid arthritis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine,  
5 Remicade®, Enbrel®, auranofin and aurothioglucose.

1           **132.** A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is multiple sclerosis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

1           **133.** The method of Claim 110, wherein said subject is a human.

1           **134.** A method for the modulation of CXCR3 function in a cell,  
2 comprising contacting said cell with a compound of Claim 1.

1           **135.** A method for the modulation of CXCR3 function, comprising  
2 contacting a CXCR3 protein with a compound of Claim 1

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